## Amendments to the Claims:

## What is claimed is:

Claim 1. (original) A compound of the formula

wherein

 $R_1$  is hydrogen, halogen, hydroxy, alkoxy, carboxy, cyano, nitro, trifluoromethyl, alkynyl, alkylthio, heteroaralkyl, heteroaralkoxy or heteroaryloxy provided that  $R_1$  is located at the 2-position when  $L_3$  is -(CHR)<sub>s</sub>- in which s is zero; or

 $R_1$  is optionally substituted alkyl, alkenyl, optionally substituted amino, aralkyl, aralkoxy, aralkylthio, aryloxy, arylthio or cycloalkyl provided that a monocyclic aryl group which is substituted at the para position with a methylene or ethylene bridged nitrogen containing heterocycle does not constitute part of  $R_1$  when

- (i) R<sub>1</sub> is located at the 2-position and L<sub>3</sub> is -(CHR)<sub>s</sub>- in which s is zero;
- (ii) X and Y each are CH; and
- (iii) Q2 is oxygen; or

 $C-R_1$  may be replaced with nitrogen or  $N\rightarrow O$ ; or

 $R_1$  and  $R_2$  combined together with the carbon atoms to which  $R_1$  and  $R_2$  are attached form an optionally substituted fused 5- to 6-membered aromatic or heteroaromatic ring provided that  $R_1$  and  $R_2$  are attached to carbon atoms adjacent to each other; or

R₂ is hydrogen, halogen, hydroxy, alkoxy, cyano, trifluoromethyl, nitro, optionally substituted amino, optionally substituted alkyl, alkylthio, aralkyl, heteroaralkyl, aralkoxy, heteroaralkoxy, aralkylthio, aryloxy, heteroaryloxy, arylthio or cycloalkyl; or

R<sub>2</sub> is -C(O)R<sub>3</sub> wherein

R<sub>3</sub> is hydroxy or optionally substituted alkoxy; or

 $R_3$  is -NR<sub>4</sub>R<sub>5</sub> in which R<sub>4</sub> and R<sub>5</sub> are independently hydrogen, optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

L<sub>1</sub> is a single bond; or

 $L_1$  is carbon which combined together with  $R_2$  and the carbon atoms to which  $L_1$  and  $R_2$  are attached form an optionally substituted fused 5- or 6-membered aromatic or heteroaromatic ring provided that  $L_1$  and  $R_2$  are attached to carbon atoms adjacent to each other; or

 $L_1$  is CH or nitrogen which taken together with  $R_2$  and the carbon atoms to which  $L_1$  and  $R_2$  are attached form a fused 5- to 7-membered ring which may be interrupted with one or two heteroatoms selected from oxygen, nitrogen and sulfur provided that  $L_1$  and  $R_2$  are attached to carbon atoms adjacent to each other; or

 $L_1$  is CH, oxygen, sulfur or nitrogen and  $L_2$  is carbon which combined together with  $L_1$ ,  $R_2$  and the carbon atoms to which  $L_1$  and  $R_2$  are attached form an optionally substituted fused 5- or 6-membered aromatic or heteroaromatic ring provided that  $L_1$  and  $R_2$  are attached to carbon atoms adjacent to each other; or

 $L_1$  is -CH<sub>2</sub>-, oxygen, sulfur or -NR<sub>6</sub>- and  $L_2$  is CH which taken together with  $L_1$ ,  $R_2$  and the carbon atoms to which  $L_1$  and  $R_2$  are attached form a fused 5- to 7-membered ring which may be interrupted with one or two heteroatoms selected from oxygen, nitrogen and sulfur wherein

 $R_6$  is hydrogen, optionally substituted alkyl, aralkyl, heteroaralkyl, alkoxycarbonyl, aryloxycarbonyl, carbamoyl, sulfonyl or acyl provided that  $L_1$  and  $R_2$  are attached to carbon atoms adjacent to each other;

L<sub>2</sub> is -(CHR<sub>7</sub>)<sub>n</sub>- wherein

R<sub>7</sub> is hydrogen, hydroxy, alkoxy, carboxy, optionally substituted alkyl, cycloalkyl, aryl or heteroaryl;

n is zero or an integer from 1 to 4;

Z is -(CHR<sub>8</sub>)<sub>m</sub>-, -(CH<sub>2</sub>)<sub>m</sub>O(CHR<sub>8</sub>)<sub>r</sub>-, -(CH<sub>2</sub>)<sub>m</sub>S(CHR<sub>8</sub>)<sub>r</sub>- or -(CH<sub>2</sub>)<sub>m</sub>NR<sub>9</sub>(CHR<sub>8</sub>)<sub>r</sub>- wherein R<sub>8</sub> is hydrogen, optionally substituted alkyl, cycloalkyl, aryl or heterocyclyl; R<sub>9</sub> is hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl, heteroaralkyl, alkoxycarbonyl, aryloxycarbonyl, heteroaryloxycarbonyl, carbamoyl, sulfonyl, acyl or acylamino; m and r are independently zero or an integer of 1 or 2;

 $\mathsf{Q}_1$  is hydrogen, optionally substituted alkyl, cycloalkyl, aryl or heterocyclyl provided that

(i) Q₁ is not 2-phenyloxazol-4-yl when

R<sub>1</sub> and R<sub>2</sub> are hydrogen;

X and Y each are CH;

L<sub>1</sub> is a single bond located at the 4-position;

 $L_2$  is -(CHR<sub>7</sub>)<sub>n</sub>- wherein n is zero;

 $L_3$  is -(CHR)<sub>s</sub>- wherein s is zero;

Z is -(CH<sub>2</sub>)<sub>m</sub>O(CHR<sub>8</sub>)<sub>r</sub>- wherein R<sub>8</sub> is hydrogen, m is zero and r is 2; and

Q2 is oxygen; or

(ii) Q<sub>1</sub> is not hydrogen when

R<sub>1</sub> and R<sub>2</sub> are hydrogen;

X and Y each are CH;

L<sub>1</sub> is a single bond;

 $L_2$  is -(CHR<sub>7</sub>)<sub>n</sub>- wherein n is zero;

L<sub>3</sub> is -(CHR)<sub>s</sub>- wherein R is hydrogen and s is 1:

Z is -(CHR<sub>8</sub>)<sub>m</sub>- wherein m is zero; and

Q2 is oxygen; or

Q  $_1$  is -C(O)NR $_{4a}$ R $_{5a}$ , -C(O)R $_{10}$ , -C(O)OR $_{10}$  or -S(O) $_q$ R $_{10}$  wherein R $_{4a}$  and R $_{5a}$  are as defined for R $_4$  and R $_5$ ; R $_{10}$  is optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl; q is an integer of 1 or 2; or

$$- \underbrace{C - R_{11}}_{U_1 - V_1} \text{ wherein}$$

Q<sub>1</sub> is a radical of the formula

W<sub>1</sub> is aryl, heteroaryl, aralkyl or heteroaralkyl; or

 $W_1$  is -C(O)R<sub>3a</sub> in which R<sub>3a</sub> is hydroxy or optionally substituted alkoxy; or R<sub>3a</sub> is -NR<sub>4a</sub>R<sub>5a</sub> in which R<sub>4a</sub> and R<sub>5a</sub> are as defined for R<sub>4</sub> and R<sub>5</sub>;

R<sub>11</sub> is hydrogen, alkyl or aryl;

 $U_1$  is  $-C(O)_-$ ,  $-S(O)_2$ - or  $-(CH_2)_r$ - in which r is as defined for Z;

V₁ is hydroxy, alkoxy, aryl, heteroaryl, optionally substituted alkyl or cycloalkyl; or

V₁ is -NR₄₀R₅₅ in which R₄₅ and R₅ь are as defined for R₄ and R₅ provided that

- (i) L<sub>2</sub> is -(CHR<sub>7</sub>)<sub>n</sub>- in which n is an integer of 1 or 2; and
- (ii) Z is -(CHR<sub>8</sub>)<sub>m</sub>- in which m is zero; or

$$- \underbrace{C - R_{11}}_{U_2 - V_2} \text{ wherein}$$

Q<sub>1</sub> is a radical of the formula

 $W_2$  is -C(O)R<sub>3a</sub> in which R<sub>3a</sub> is hydroxy or optionally substituted alkoxy; or R<sub>3a</sub> is -NR<sub>4a</sub>R<sub>5a</sub> in which R<sub>4a</sub> and R<sub>5a</sub> are as defined for R<sub>4</sub> and R<sub>5</sub>;

R<sub>11</sub> is hydrogen, alkyl or aryl;

 $U_2$  is -(CH<sub>2</sub>)<sub>0</sub>- in which p is zero or 1;

 $V_2$  is -NR<sub>4b</sub>C(O)R<sub>5b</sub>, -NR<sub>4b</sub>C(O)OR<sub>5b</sub>, -NR<sub>4b</sub>C(O)NR<sub>4c</sub>R<sub>5b</sub> or -NR<sub>4b</sub>S(O)<sub>2</sub>R<sub>5b</sub> in which R<sub>4b</sub> and R<sub>4c</sub> are as defined for R<sub>4</sub>, and R<sub>5b</sub> has a meaning as defined for R<sub>5</sub> provided that

- (i) L<sub>2</sub> is -(CHR<sub>7</sub>)<sub>n</sub>- in which n is an integer of 1 or 2; and
- (ii) Z is -(CHR<sub>8</sub>)<sub>m</sub>- in which m is zero; or

Q<sub>1</sub> is a radical of the formula

 $W_3$  is -C(O)R<sub>3a</sub> in which R<sub>3a</sub> is hydroxy or optionally substituted alkoxy; or R<sub>3a</sub> is -NR<sub>4a</sub>R<sub>5a</sub> in which R<sub>4a</sub> and R<sub>5a</sub> are as defined for R<sub>4</sub> and R<sub>5</sub>;

R<sub>11</sub> is hydrogen, alkyl or aryl;

 $U_3$  is -(CH<sub>2</sub>)<sub>p</sub>- in which p is zero or 1;

 $V_3$  is -NHC(O)CHR<sub>4b</sub>NHC(O)R<sub>12</sub> wherein R<sub>4b</sub> is as defined for R<sub>4</sub>; R<sub>12</sub> is hydrogen, aryl, heterocyclyl, aralkyl, heteroaralkyl, optionally substituted alkyl, alkoxy or cycloalkyl; or

 $R_{12}$  is -NR  $_{4c}R_{5b},$  in which  $R_{4c}$  and  $R_{5b}$  are as defined for  $R_4$  and  $R_5$  provided that

- (i) L<sub>2</sub> is -(CHR<sub>7</sub>)<sub>n</sub>- in which n is an integer of 1 or 2; and
- (ii) Z is -(CHR<sub>8</sub>)<sub>m</sub>- in which m is zero;

L<sub>3</sub> is -(CHR)<sub>s</sub>- wherein

R is hydrogen, carboxy, optionally substituted alkyl, cycloalkyl, aryl or heteroaryl; s is zero or an integer from 1 to 3;

Q<sub>2</sub> is oxygen, sulfur or NR<sub>13</sub> wherein

R<sub>13</sub> is hydrogen, hydroxy or lower alkyl;

X and Y are independently CH or nitrogen; or

-X=Y- is sulfur, oxygen or -NR<sub>14</sub>- wherein

R<sub>14</sub> is hydrogen, optionally substituted alkyl, alkoxycarbonyl, acyl, aryloxycarbonyl, heteroaryloxycarbonyl, carbamoyl or sulfonyl;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 2. (original) A compound according to claim 1 wherein

Q2 is oxygen;

X and Y each are CH; or

-X=Y- is sulfur;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 3. (original) A compound according to claim 2 of the formula

wherein

 $R_1$  is hydrogen, halogen, hydroxy, alkoxy, trifluoromethyl, alkylthio, heteroaralkyl or heteroaralkoxy provided that  $R_1$  is located at the 2-position when  $L_3$  is -(CHR)<sub>s</sub>- in which s is zero; or

 $R_1$  is optionally substituted alkyl, aralkyl, aralkoxy or aryloxy provided that a monocyclic aryl group which is substituted at the para position with a methylene or ethylene bridged

nitrogen containing heterocycle does not constitute part of R<sub>1</sub> when

- (i)  $R_1$  is located at the 2-position and  $L_3$  is -(CHR)<sub>s</sub>- in which s is zero; and
- (ii) X and Y each are CH;

R<sub>2</sub> is hydrogen; or

R<sub>2</sub> is -C(O)R<sub>3</sub> wherein

R<sub>3</sub> is hydroxy or optionally substituted alkoxy; or

 $R_3$  is -NR<sub>4</sub>R<sub>5</sub> in which R<sub>4</sub> and R<sub>5</sub> are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

L<sub>1</sub> is a single bond; or

 $L_1$  is carbon which combined together with  $R_2$  and the carbon atoms to which  $L_1$  and  $R_2$  are attached form an optionally substituted fused 5- or 6-membered aromatic or heteroaromatic ring provided that  $L_1$  and  $R_2$  are attached to carbon atoms adjacent to each other; or

 $L_1$  is CH or nitrogen which taken together with  $R_2$  and the carbon atoms to which  $L_1$  and  $R_2$  are attached form a fused 5- to 7-membered ring which may be interrupted with one or two heteroatoms selected from oxygen, nitrogen and sulfur provided that  $L_1$  and  $R_2$  are attached to carbon atoms adjacent to each other; or

 $L_1$  is CH, oxygen, sulfur or nitrogen and  $L_2$  is carbon which combined together with  $L_1$ ,  $R_2$  and the carbon atoms to which  $L_1$  and  $R_2$  are attached form an optionally substituted fused 5- or 6-membered aromatic or heteroaromatic ring provided that  $L_1$  and  $R_2$  are attached to carbon atoms adjacent to each other; or

 $L_1$  is  $-CH_2$ -, oxygen, sulfur or  $-NR_6$ - and  $L_2$  is CH which taken together with  $L_1$ ,  $R_2$  and the carbon atoms to which  $L_1$  and  $R_2$  are attached form a fused 5- to 7-membered ring which may be interrupted with one or two heteroatoms selected from oxygen, nitrogen and sulfur wherein

 $R_6$  is hydrogen, optionally substituted alkyl, aralkyl, heteroaralkyl, alkoxycarbonyl, aryloxycarbonyl, carbamoyl, sulfonyl or acyl provided that  $L_1$  and  $R_2$  are attached to carbon atoms adjacent to each other; or

 $L_2$  is -(CHR<sub>7</sub>)<sub>n</sub>- wherein

R<sub>7</sub> is hydrogen;

n is zero or an integer of 1 or 2;

Z is  $-(CHR_8)_{r^-}$ ,  $-(CH_2)_mO(CHR_8)_{r^-}$ ,  $-(CH_2)_mS(CHR_8)_{r^-}$  or  $-(CH_2)_mNR_9(CHR_8)_{r^-}$  wherein  $R_8$  is hydrogen or optionally substituted alkyl;

R<sub>9</sub> is hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl or acyl; m and r are independently zero or an integer of 1 or 2;

Q<sub>1</sub> is hydrogen, optionally substituted alkyl, cycloalkyl, aryl or heterocyclyl provided that (i) Q<sub>1</sub> is not 2-phenyloxazol-4-yl when

R<sub>1</sub> and R<sub>2</sub> are hydrogen;

X and Y each are CH;

L<sub>1</sub> is a single bond located at the 4-position;

 $L_2$  is -(CHR<sub>7</sub>)<sub>n</sub>- wherein n is zero;

L<sub>3</sub> is -(CHR)<sub>s</sub>- wherein s is zero; and

Z is -(CH<sub>2</sub>)<sub>m</sub>O(CHR<sub>8</sub>)<sub>r</sub>- wherein R<sub>8</sub> is hydrogen, m is zero and r is 2; or

(ii) Q<sub>1</sub> is not hydrogen when

R<sub>1</sub> and R<sub>2</sub> are hydrogen;

X and Y each are CH;

L<sub>1</sub> is a single bond;

 $L_2$  is -(CHR<sub>7</sub>)<sub>n</sub>- wherein n is zero;

L<sub>3</sub> is -(CHR)<sub>s</sub>- wherein R is hydrogen and s is 1; and

Z is -(CHR<sub>8</sub>)<sub>m</sub>- wherein m is zero; or

Q<sub>1</sub> is -C(O)NR<sub>4a</sub>R<sub>5a</sub>, -C(O)R<sub>10</sub>, -C(O)OR<sub>10</sub> or -S(O)<sub>q</sub>R<sub>10</sub> wherein R<sub>4a</sub> and R<sub>5a</sub> are as defined for R<sub>4</sub> and R<sub>5</sub>; R<sub>10</sub> is optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl; q is an integer of 1 or 2; or

Q<sub>1</sub> is a radical of the formula

W<sub>1</sub> is aryl, heteroaryl, aralkyl or heteroaralkyl; or

 $W_1$  is -C(O)R<sub>3a</sub> in which R<sub>3a</sub> is hydroxy or optionally substituted alkoxy; or R<sub>3a</sub> is -NR<sub>4a</sub>R<sub>5a</sub> in which R<sub>4a</sub> and R<sub>5a</sub> are as defined for R<sub>4</sub> and R<sub>5</sub>;

R<sub>11</sub> is hydrogen, alkyl or aryl;

 $U_1$  is -C(O)- or -(CH<sub>2</sub>)<sub>r</sub>- in which r is as defined for Z;

V<sub>1</sub> is hydroxy, alkoxy, aryl, heteroaryl, optionally substituted alkyl or cycloalkyl; or

 $V_1$  is -NR<sub>4b</sub>R<sub>5b</sub> in which R<sub>4b</sub> and R<sub>5b</sub> are as defined for R<sub>4</sub> and R<sub>5</sub> provided that

- (i) L<sub>2</sub> is -(CHR<sub>7</sub>)<sub>n</sub>- in which n is an integer of 1 or 2; and
- (ii) Z is -(CHR<sub>8</sub>)<sub>m</sub>- in which m is zero; or

 $\mathbf{Q}_1$  is a radical of the formula

 $W_2$  is -C(O)R<sub>3a</sub> in which R<sub>3a</sub> is hydroxy or optionally substituted alkoxy; or

 $R_{3a}$  is -NR<sub>4a</sub>R<sub>5a</sub> in which R<sub>4a</sub> and R<sub>5a</sub> are as defined for R<sub>4</sub> and R<sub>5</sub>;

R<sub>11</sub> is hydrogen, alkyl or aryl;

 $U_2$  is  $-(CH_2)_0$ - in which p is zero or 1;

 $V_2$  is -NR<sub>4b</sub>C(O)R<sub>5b</sub>, -NR<sub>4b</sub>C(O)OR<sub>5b</sub>, -NR<sub>4b</sub>C(O)NR<sub>4c</sub>R<sub>5b</sub> or -NR<sub>4b</sub>S(O)<sub>2</sub>R<sub>5b</sub> in which

 $R_{4b}$  and  $R_{4c}$  are as defined for  $R_4$  , and  $R_{5b}$  has a meaning as defined for  $R_5$  provided that

- (i) L<sub>2</sub> is -(CHR<sub>7</sub>)<sub>n</sub>- in which n is an integer of 1 or 2; and
- (ii) Z is -(CHR<sub>8</sub>)<sub>m</sub>- in which m is zero; or

$$- \underbrace{\mathsf{C} \hspace{-1pt} \begin{array}{c} \mathsf{W}_3 \\ \mathsf{R}_{11} \\ \mathsf{U}_3 \hspace{-1pt} \end{array}}_{\mathsf{U}_3} \text{ wherein}$$

Q<sub>1</sub> is a radical of the formula

 $W_{3}$  is -C(O)R  $_{3a}$  in which R  $_{3a}$  is hydroxy or optionally substituted alkoxy; or

 $R_{3a}$  is -NR<sub>4a</sub>R<sub>5a</sub> in which R<sub>4a</sub> and R<sub>5a</sub> are as defined for R<sub>4</sub> and R<sub>5</sub>;

R<sub>11</sub> is hydrogen, alkyl or aryl;

 $U_3$  is  $-(CH_2)_p$ - in which p is zero or 1;

 $V_3$  is -NHC(O)CHR<sub>4b</sub>NHC(O)R<sub>12</sub> wherein R<sub>4b</sub> is as defined for R<sub>4</sub>; R<sub>12</sub> is hydrogen, aryl, heterocyclyl, aralkyl, heteroaralkyl, optionally substituted alkyl, alkoxy or cycloalkyl; or

R<sub>12</sub> is -NR<sub>4c</sub>R<sub>5b</sub>, in which R<sub>4c</sub> and R<sub>5b</sub> are as defined for R<sub>4</sub> and R<sub>5</sub> provided that

- (i) L<sub>2</sub> is -(CHR<sub>7</sub>)<sub>n</sub>- in which n is an integer of 1 or 2; and
- (ii) Z is -(CHR<sub>8</sub>)<sub>m</sub>- in which m is zero;

L<sub>3</sub> is -(CHR)<sub>s</sub>- wherein

R is hydrogen;

s is zero or an integer from 1 to 3;

X and Y each are CH; or

-X=Y- is sulfur;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 4. (original) compound according to claim 3 of the formula

wherein

R<sub>1</sub> is hydrogen, halogen, hydroxy, alkoxy, trifluoromethyl, optionally substituted alkyl, alkylthio, aralkyl, aralkoxy, aryloxy, heteroaralkyl or heteroaralkoxy;

n is zero or an integer of 1 or 2;

Z is  $-(CHR_8)_{n^-}$ ,  $-(CH_2)_mO(CHR_8)_{r^-}$ ,  $-(CH_2)_mS(CHR_8)_{r^-}$  or  $-(CH_2)_mNR_9(CHR_8)_{r^-}$  wherein  $R_8$  is hydrogen;

R<sub>9</sub> is hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl or acyl; m and r are independently zero or an integer of 1 or 2;

Q<sub>1</sub> is hydrogen, optionally substituted alkyl, cycloalkyl, aryl or heterocyclyl; or

 $Q_1$  is -C(O)NR4aR5a, -C(O)R10, -C(O)OR10 or -S(O)qR10 wherein

 $R_{4a}$  and  $R_{5b}$  are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

R<sub>10</sub> is optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

q is an integer of 1 or 2;

s is zero or an integer of 1 or 2;

Q<sub>3</sub> is O, S or -NR<sub>6a</sub>- wherein

R<sub>6a</sub> is hydrogen, optionally substituted alkyl, aralkyl, heteroaralkyl, alkoxycarbonyl, aryloxycarbonyl, carbamoyl, sulfonyl or acyl;

X and Y each are CH; or

-X=Y- is sulfur;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 5. (original) compound according to claim 3 of the formula

## wherein

R<sub>1</sub> is hydrogen, halogen, hydroxy, alkoxy, trifluoromethyl, optionally substituted alkyl, alkylthio, aralkyl, aralkoxy, aryloxy, heteroaralkyl or heteroaralkoxy;

Z is -(CHR<sub>8</sub>)<sub>m</sub>-, -(CH<sub>2</sub>)<sub>m</sub>O(CHR<sub>8</sub>)<sub>r</sub>-, -(CH<sub>2</sub>)<sub>m</sub>S(CHR<sub>8</sub>)<sub>r</sub>- or -(CH<sub>2</sub>)<sub>m</sub>NR<sub>9</sub>(CHR<sub>8</sub>)<sub>r</sub>- wherein R<sub>8</sub> is hydrogen;

R<sub>9</sub> is hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl or acyl; m and r are independently zero or an integer of 1 or 2;

Q<sub>1</sub> is hydrogen, optionally substituted alkyl, cycloalkyl, aryl or heterocyclyl; or

 $Q_1$  is  $-C(O)NR_{4a}R_{5a}$ ,  $-C(O)R_{10}$ ,  $-C(O)OR_{10}$  or  $-S(O)_qR_{10}$  wherein  $R_{4a}$  and  $R_{5a}$  are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl,

heterocyclyl, aralkyl or heteroaralkyl;

R<sub>10</sub> is optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

q is an integer of 1 or 2;

s is zero or an integer of 1 or 2;

Q<sub>3</sub> is O, S or -NR<sub>6a</sub>- wherein

R<sub>6a</sub> is hydrogen, optionally substituted alkyl, aralkyl, heteroaralkyl, alkoxycarbonyl, aryloxycarbonyl, carbamoyl, sulfonyl or acyl;

X and Y are CH; or

-X=Y- is sulfur;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 6. (original) compound according to claim 3 wherein

R<sub>2</sub> is hydrogen;

L<sub>1</sub> is a single bond;

L<sub>2</sub> is -(CH<sub>2</sub>)<sub>n</sub>- in which n is zero or an integer of 1 or 2; or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 7. (original) A compound according to claim 6 of the formula

$$\begin{array}{c}
O \\
HN \\
O
\end{array}$$

$$\begin{array}{c}
O \\
N \\
C(CH_2)_S \\
R_1
\end{array}$$

$$\begin{array}{c}
X \\
C(CH_2)_n - Z - Q_1
\end{array}$$
(ID)

wherein

 $R_1$  is hydrogen, halogen, hydroxy, alkoxy, trifluoromethyl or alkylthio provided that  $R_1$  is located at the 2-position when s is zero; or

R<sub>1</sub> is optionally substituted alkyl, aralkyl, aralkoxy or aryloxy provided that a monocyclic aryl group which is substituted at the para position with a methylene or ethylene bridged nitrogen containing heterocycle does not constitute part of R<sub>1</sub> when

- (i) R<sub>1</sub> is located at the 2-position and s is zero; and
- (ii) X and Y each are CH;

n is zero or an integer of 1 or 2;

s is zero or 1;

Z is -(CHR<sub>8</sub>)<sub>m</sub>-, -(CH<sub>2</sub>)<sub>m</sub>O(CHR<sub>8</sub>)<sub>r</sub>-, -(CH<sub>2</sub>)<sub>m</sub>S(CHR<sub>8</sub>)<sub>r</sub>- or -(CH<sub>2</sub>)<sub>m</sub>NR<sub>9</sub>(CHR<sub>8</sub>)<sub>r</sub>- wherein R<sub>8</sub> is hydrogen;

R<sub>9</sub> is hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heteroaryl or acyl; m and r are independently zero or an integer of 1 or 2;

Q<sub>1</sub> is hydrogen, optionally substituted alkyl, cycloalkyl, aryl or heterocyclyl provided that

(i) Q₁ is not 2-phenyloxazol-4-yl when

R₁ is hydrogen;

X and Y each are CH;

n is zero;

s is zero; and

Z is -(CH<sub>2</sub>)<sub>m</sub>O(CHR<sub>8</sub>)<sub>r</sub>- wherein R<sub>8</sub> is hydrogen, m is zero and r is 2; or

(ii) Q<sub>1</sub> is not hydrogen when

R<sub>1</sub> is hydrogen;

X and Y each are CH;

n is zero;

s is 1;

Z is -(CHR<sub>8</sub>)<sub>m</sub>- wherein m is zero; or

 $Q_1$  is -C(O)NR<sub>4a</sub>R<sub>5a</sub>, -C(O)R<sub>10</sub>, -C(O)OR<sub>10</sub> or -S(O)<sub>q</sub>R<sub>10</sub> wherein

R<sub>4a</sub> and R<sub>5a</sub> are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

R<sub>10</sub> is optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

q is an integer of 1 or 2; or

$$- \underbrace{C - R_{11}}_{U_1 - V_1} W_1$$
 wherein

Q<sub>1</sub> is a radical of the formula

W<sub>1</sub> is aryl, heteroaryl, aralkyl or heteroaralkyl; or

 $W_1$  is -C(O) $R_{3a}$  in which  $R_{3a}$  is hydroxy or optionally substituted alkoxy; or  $R_{3a}$  is -NR<sub>4a</sub> $R_{5a}$  in which  $R_{4a}$  and  $R_{5a}$  are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

R<sub>11</sub> is hydrogen, alkyl or aryl;

 $U_1$  is -C(O)- or -(CH<sub>2</sub>)<sub>r</sub>- in which r is as defined for Z;

V<sub>1</sub> is hydroxy, alkoxy, aryl, heteroaryl, optionally substituted alkyl or cycloalkyl; or

 $V_1$  is -NR<sub>4b</sub>R<sub>5b</sub> in which R<sub>4b</sub> and R<sub>5b</sub> are as defined for R<sub>4a</sub> and R<sub>5a</sub> provided that

- (i) n is an integer of 1 or 2; and
- (ii) Z is -(CHR<sub>8</sub>)<sub>m</sub>- in which m is zero; or

Q<sub>1</sub> is a radical of the formula

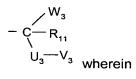
 $W_2$  is -C(O)R<sub>3a</sub> in which R<sub>3a</sub> is hydroxy or optionally substituted alkoxy; or R<sub>3a</sub> is -NR<sub>4a</sub>R<sub>5a</sub> in which R<sub>4a</sub> and R<sub>5a</sub> are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

R<sub>11</sub> is hydrogen, alkyl or aryl;

 $U_2$  is  $-(CH_2)_p$ - in which p is zero or 1;

 $V_2$  is -NR<sub>4b</sub>C(O)R<sub>5b</sub>, -NR<sub>4b</sub>C(O)OR<sub>5b</sub>, -NR<sub>4b</sub>C(O)NR<sub>4c</sub>R<sub>5b</sub> or -NR<sub>4b</sub>S(O)<sub>2</sub>R<sub>5b</sub> in which R<sub>4b</sub> and R<sub>4c</sub> are as defined for R<sub>4a</sub>, and R<sub>5b</sub> has a meaning as defined for R<sub>5a</sub> provided that

- (i) n is an integer of 1 or 2; and
- (ii) Z is -(CHR<sub>8</sub>)<sub>m</sub>- in which m is zero; or



Q<sub>1</sub> is a radical of the formula

 $W_3$  is -C(O)R<sub>3a</sub> in which R<sub>3a</sub> is hydroxy or optionally substituted alkoxy; or R<sub>3a</sub> is -NR<sub>4a</sub>R<sub>5a</sub> in which R<sub>4a</sub> and R<sub>5a</sub> are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

R<sub>11</sub> is hydrogen, alkyl or aryl;

 $U_3$  is -(CH<sub>2</sub>)<sub>r</sub>- in which r is zero or 1;

 $V_3$  is -NHC(O)CHR<sub>4b</sub>NHC(O)R<sub>12</sub> wherein R<sub>4b</sub> is as defined for R<sub>4a</sub>; R<sub>12</sub> is hydrogen, aryl, heterocyclyl, aralkyl, heteroaralkyl, optionally substituted alkyl, alkoxy or cycloalkyl; or

 $R_{12}$  is -NR<sub>4c</sub>R<sub>5b</sub> in which R<sub>4c</sub> is as defined for R<sub>4a</sub>, and R<sub>5b</sub> has a meaning as defined for R<sub>5a</sub> provided that

- (i) n is an integer of 1 or 2; and
- (ii) Z is -(CHR<sub>8</sub>)<sub>m</sub>- in which m is zero;

X and Y each are CH; or

-X=Y- is sulfur;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 8. (original) A compound according to claim 7 wherein

-X=Y- is sulfur;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 9. (original) A compound according to claim 7 wherein

R<sub>1</sub> is bromide;

X and Y each are CH;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 10. (original) A compound according to claim 7 wherein

n is zero;

s is 1;

Z is -(CH<sub>2</sub>)<sub>m</sub>- in which m is zero;

 $Q_1$  is -C(O)NR4aR5a, -C(O)R10, -C(O)OR10 or -S(O)qR10 wherein

 $R_{4a}$  and  $R_{5a}$  are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

R<sub>10</sub> is optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

q is an integer of 1 or 2;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 11. (original) A compound according to claim 7 wherein

n is an integer of 1 or 2;

Z is  $-(CH_2)_m$ -,  $-(CH_2)_mO(CH_2)_r$ - or  $-(CH_2)_mS(CH_2)_r$ - wherein m is zero;

r is zero or 1;

Q<sub>1</sub> is optionally substituted alkyl, cycloalkyl, aryl or heterocyclyl; or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 12. (original) A compound according to claim 7 wherein

n is an integer of 1 or 2;

Z is -(CH<sub>2</sub>)<sub>m</sub>NR<sub>9</sub>(CH<sub>2</sub>)<sub>r</sub>- wherein

R<sub>9</sub> is hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heteroaryl or acyl; m is zero;

r is zero or 1;

Q<sub>1</sub> is optionally substituted alkyl, cycloalkyl, aryl or heterocyclyl; or

 $Q_1$  is  $-C(O)NR_{4a}R_{5a}$ ,  $-C(O)R_{10}$ ,  $-C(O)OR_{10}$  or  $-S(O)_{\sigma}R_{10}$  wherein

 $R_{4a}$  and  $R_{5a}$  are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

R<sub>10</sub> is optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

q is an integer of 1 or 2;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 13. (original) A compound according to claim 7 wherein

n is an integer of 1 or 2;

Z is -(CH<sub>2</sub>)<sub>m</sub>- wherein m is zero;

Q<sub>1</sub> is a radical of the formula

W₁ is aryl, heteroaryl, aralkyl or heteroaralkyl;

R<sub>11</sub> is hydrogen, alkyl or aryl;

 $U_1$  is -C(O)- or -(CH<sub>2</sub>)<sub>r</sub>- in which r is zero;

V<sub>1</sub> is aryl, heteroaryl, optionally substituted alkyl or cycloalkyl; or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 14. (original) A compound according to claim 7 wherein

n is 1;

Z is -(CH<sub>2</sub>)<sub>m</sub>- wherein m is zero;

$$- \underbrace{C - R_{11}}_{U_2 - V_2} W_2$$
 wherein

Q<sub>1</sub> is a radical of the formula

 $W_2$  is -C(O)R<sub>3a</sub> in which R<sub>3a</sub> is -NR<sub>4a</sub>R<sub>5a</sub>, and R<sub>4a</sub> and R<sub>5a</sub> are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

R<sub>11</sub> is hydrogen;

 $U_2$  is  $-(CH_2)_p$ - in which p is zero;

 $V_2 \text{ is -NR}_{4b}C(O)R_{5b}, \text{-NR}_{4b}C(O)OR_{5b}, \text{-NR}_{4b}C(O)NR_{4c}R_{5b} \text{ or -NR}_{4b}S(O)_2R_{5b} \text{ in which } C(O)OR_{5b}, C(O)OR_$ 

 $R_{4b}$  and  $R_{4c}$  are as defined for  $R_{5a}$ , and  $R_{5b}$  has a meaning as defined for  $R_{5a}$ ; or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 15. (original) A compound according to claim 7 wherein n is 1;

Z is -(CH<sub>2</sub>)<sub>m</sub>- wherein m is zero;

$$- \underbrace{\mathsf{C} \overset{\mathsf{W}_3}{-} \mathsf{R}_{11}}_{\mathsf{U_3} \overset{\mathsf{V}_3}{-} \mathsf{V}_3} \text{ wherein}$$

Q<sub>1</sub> is a radical of the formula

 $W_3$  is -C(O)R<sub>3a</sub> in which R<sub>3a</sub> is -NR<sub>4a</sub>R<sub>5a</sub>, and R<sub>4a</sub> and R<sub>5a</sub> are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

R<sub>11</sub> is hydrogen;

U<sub>3</sub> is -(CH<sub>2</sub>)<sub>p</sub>- in which p is zero;

 $V_3 \ is \ -NHC(O)CHR_{4b}NHC(O)R_{12} \ wherein \ R_{4b} \ is \ as \ defined \ for \ R_{4a}; \ R_{12} \ is \ hydrogen,$  aryl, heterocyclyl, aralkyl, heteroaralkyl, optionally substituted alkyl or alkoxy; or  $R_{12} \ is \ -NR_{4c}R_{5b} \ in \ which \ R_{4c} \ and \ R_{5b} \ are \ as \ defined \ for \ R_{4a} \ and \ R_{5a};$  or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 16. (original) A compound according to claim 1 which is selected from: 5-Naphthalen-1-ylmethyl-1,1-dioxo-1,2,5-thiadiazolidin-3-one; N-[3-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-acetamide; [3-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-carbamic acid t-butyl ester; 5-(4-Aminomethyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one; N-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-acetamide; [4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-carbamic acid t-butyl ester; 3-Phenyl-N-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-propionamide; 5-(3-lodo-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one; 5-(3-Nitro-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one; 5-(3-Amino-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one; N-[3-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-acetamide; 1,1-Dioxo-5-pyridin-4-ylmethyl-1,2,5-thiadiazolidin-3-one; 5-(4-Amino-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one; N-[3-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-butyramide; 1-Propyl-3-[3-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-urea: 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid methyl ester; 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid: 2-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid; 5-(2-Methyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one; 1,1-Dioxo-5-pyridin-3-ylmethyl-1,2,5-thiadiazolidin-3-one; 1,1-Dioxo-5-pyridin-2-ylmethyl-1,2,5-thiadiazolidin-3-one; 5-(6-Amino-pyridin-3-ylmethyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one; 1,1-Dioxo-5-thiophen-2-ylmethyl-1,2,5-thiadiazolidin-3-one; 5-(4-Methoxy-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one; 5-(4-Amino-2-bromo-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one: N-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-acetamide; N-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-methanesulfonamide; N-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-methanesulfonamide; 5-(4-Methyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one; Amino-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-acetic acid; 2-Amino-N-propyl-2-[2-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-acetamide;

2-Amino-N-propyl-2-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-acetamide;

- 2,2,2-Trifluoro-N-{propylcarbamoyl-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-methyl}-acetamide;
- 2-Methanesulfonylamino-N-propyl-2-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-acetamide;
- 2-Acetylamino-N-propyl-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-propionamide;
- 2-Acetylamino-2-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-malonic acid diethyl ester;
- 2-Amino-N-propyl-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-propionamide;
- 2-Acetylamino-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-propionic acid ethyl ester;
  - Phenyl-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-acetic acid;
  - 1,1-Dioxo-5-phenethyl-1,2,5-thiadiazolidin-3-one;
  - 5-[2-(4-Methyl-thiazol-5-yl)-ethyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 5-[2-(3,4-Dimethoxy-phenyl)-ethyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 5-[2-(2-Chloro-phenyl)-ethyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 5-[2-(4-Amino-phenyl)-ethyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one:
  - 2,2,2-Trifluoro-N-{4-[2-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-ethyl]-phenyl}-acetamide;
  - N-{4-[2-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-yl)-ethyl]-phenyl}-butyramide;
  - 1,1-Dioxo-5-(2-pyridin-3-yl-ethyl)-1,2,5-thiadiazolidin-3-one;
  - 1,1-Dioxo-5-(2-pyridin-4-yl-ethyl)-1,2,5-thiadiazolidin-3-one;
  - 3-Phenyl-2-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-propionic acid;
  - 5-[2-(3-Amino-phenyl)-ethyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 5-(4-Aminomethyl-naphthalen-1-ylmethyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one:
  - 5-(1-Ethyl-2-methyl-1H-benzimidazol-5-ylmethyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 5-[2-Methyl-1-(3-methyl-butyl)-1H-benzimidazol-5-ylmethyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 5-(4-Methoxy-quinolin-7-ylmethyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 5-(4-Isobutoxy-quinolin-7-ylmethyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- {(1-Butylcarbamoyl-3-phenyl-propyl)-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyl]-amino}-acetic acid;
- {[Butylcarbamoyl-(4-ethyl-phenyl)-methyl]-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyl]-amino}-acetic acid;
- {[Butylcarbamoyl-(3-phenoxy-phenyl)-methyl]-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyl]-amino}-acetic acid;
- {[Butylcarbamoyl-(4-methoxy-phenyl)-methyl]-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyl]-amino}-acetic acid;

{[(2-Bromo-phenyl)-butylcarbamoyl-methyl]-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyl]-amino}-acetic acid;

(Butylcarbamoyl-naphthalen-2-yl-methyl)-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyl]-amino}-acetic acid;

{[Butylcarbamoyl-(4-chloro-phenyl)-methyl]-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyl]-amino}-acetic acid;

{[(3-Benzyloxy-phenyl)-butylcarbamoyl-methyl]-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyl]-amino}-acetic acid;

{((E)-1-Butylcarbamoyl-3-phenyl-allyl)-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyl]-amino}-acetic acid;

N-(1-Butylcarbamoyl-3-phenyl-propyl)-N-(4-(1,1,4-trioxo-1,2,5-thiazodiazolidin-2-ylmethyl)-benzoyl)-amino-acetic acid;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-methanesulfonyl-benzyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-chloro-benzyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-butyl-benzyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-hydroxymethyl-benzyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-phenethyl-benzyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid biphenyl-2-ylmethyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-difluoromethoxy-benzyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 5-(carboxy-difluoro-methyl)-thiophen-2-ylmethyl ester;

[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenylmethanesulfonyl]-acetic acid ethyl ester;

[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzylsulfanyl]-acetic acid ethyl ester:

5-[4-(3-Methyl-butylsulfanylmethyl)-benzyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-ethyl-butyl ester:

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid cyclobutylmethyl ester:

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid cyclopentylmethyl ester:

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-methyl-pentyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2,4,4-trimethyl-pentyl ester:

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid cyclohexylmethyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 1,2-dimethyl-propyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid cyclopentyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-methyl-butyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-methylsulfanyl-ethyl ester;

- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-carboxymethylsulfanylethyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 5-nitro-furan-2-ylmethyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid pyridin-2-ylmethyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-hydroxymethyl-benzyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-methanesulfonyl-benzyl ester;
- (4-{4-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoylamino]-butyl}-phenyl)-acetic acid;
- (4-{3-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoylamino]-propyl}-phenyl)-acetic acid;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 5-dimethylaminomethyl-furan-2-ylmethyl ester;
- (S)-2-Acetylamino-N-{(S)-1-pentylcarbamoyl-2-[3-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-ethyl}-3-phenyl-propionamide;
  - 5-(1H-Indol-5-ylmethyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 1,1-Dioxo-5-(3,4,5-trimethoxy-benzyl)-1,2,5-thiadiazolidin-3-one;
  - 5-[4-(4-Benzyl-piperazin-1-ylmethyl)-benzyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - [4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-acetic acid;
  - 5-(4-Benzoyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 5-Naphthalen-2-ylmethyl-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 5-[4-(4-Methyl-pentanoyl)-benzyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 5-[3-(2-Fluoro-phenoxy)-benzyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 3-{2-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-ethoxy}-benzoic acid;
  - 1-(3-Methyl-butyl)-6-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-1H-quinolin-2-one;
- 5-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophene-2-carboxylic acid methyl-phenethyl-amide;
- 5-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophene-2-carboxylic acid (2-thiophen-2-yl-ethyl)-amide;
- 5-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophene-2-carboxylic acid phenethylamide;
- [4-(2-{[5-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophene-2-carbonyl]-amino}-ethyl)-phenyl]-acetic acid;
- 5-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophene-2-carboxylic acid 4-carboxy-benzyl ester;
  - 5-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophene-2-carboxylic acid isobutyl ester:

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5-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophene-2-carboxylic acid isobutyl-
amide;
       2-Amino-N-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-acetamide;
       4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-carboxy-benzyl ester;
       1,1-Dioxo-5-(3-phenoxy-benzyl)-1,2,5-thiadiazolidin-3-one;
       3-Nitro-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid;
       5-(4-Hydroxymethyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one:
       2-Amino-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid methyl ester;
       5-(4-Hydroxy-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one:
       5-Nitro-2-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid;
       5-Amino-2-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid;
       5-(4-Chloro-3-methoxy-5-nitro-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
       5-(2-Nitro-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
       5-(3-Methyl-2-nitro-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one:
       5-(3-Methyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
       1,1-Dioxo-5-(3-phenyl-propyl)-1,2,5-thiadiazolidin-3-one;
       5-(4-Butoxy-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
       1,1-Dioxo-5-(2-trifluoromethyl-benzyl)-1,2,5-thiadiazolidin-3-one:
       3-Amino-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid;
       4-[5-Amino-2-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-butyric acid;
       5-(2-Methyl-3-nitro-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
       5-(4-Methyl-3-nitro-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one:
       5-(5-Methyl-2-nitro-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one:
       5-(2-Amino-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
       2-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-isoindole-1,3-dione:
       2-[3-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-isoindole-1,3-dione;
       5,5'-[1,4-Phenylenebis(methylene)bis[1,2,5-thiadiazolidine-3-one], 1,1-dioxide;
       N-[2-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-oxalamic acid:
       5-(3-Hydroxy-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
      2-Amino-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid;
       5-[5-(4-Nitro-phenyl)-furan-2-ylmethyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one:
       5-(4-Fluoro-2-trifluoromethyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
       5-(3-Hydroxymethyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
       5-(3-Amino-5-hydroxymethyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
       5-(3-Amino-4-methyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
       5-(2-Amino-3-methyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
       5-(3-Amino-2-methyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
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5-(2-Amino-5-methyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

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2,2,2-Trifluoro-N-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-acetamide;
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4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-pyridine-2-carbonitrile;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-pyridine-2-carboxylic acid ethyl ester;

5-(3,4-Dimethoxy-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5-(3-Amino-5-hydroxy-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5-(3,5-Dimethyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

(S)-3-Phenyl-2-[3-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzylamino]-propionic acid ethyl ester;

(S)-3-Phenyl-2-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzylamino]-propionic acid ethyl ester;

2-Amino-5-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid methyl ester;

2-Acetylamino-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid methyl ester;

5-(2-Benzyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5-(2,4-Bis-trifluoromethyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

1,1-Dioxo-5-(2,4,6-trifluoro-benzyl)-1,2,5-thiadiazolidin-3-one;

5-(2-Bromo-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5,5'-[[1,1'-biphenyl]-2,2'-diyl]bis(methylene)bis[1,2,5-Thiadiazolidine-3-one], 1,1-dioxide;

5-(4-Ethylaminomethyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one:

2-Acetylamino-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid;

2-Amino-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid ethyl ester;

1,1-Dioxo-5-[4-(phenethylamino-methyl)-benzyl]-1,2,5-thiadiazolidin-3-one;

5-(4-Diethylaminomethyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one:

2-Amino-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid benzyl ester;

N-Benzyl-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzamide:

5-(5-Dimethylaminomethyl-furan-2-ylmethyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

N-[2-(3-Trifluoromethyl-phenyl)-ethyl]-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzamide;

N-(3-Methyl-butyl)-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzamide;

(S)-3-Phenyl-2-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-propionic acid;

(R)-3-Phenyl-2-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-propionic acid;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid benzyl ester;

[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenoxy]-acetic acid;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid isobutyl ester;

2-Amino-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid isobutyl ester;

[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenoxy]-acetic acid methyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-carboxymethoxy-benzyl ester;

5-(5-Aminomethyl-thiophen-2-ylmethyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

- 4-{2-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzylamino]-ethyl}-benzoic acid;
- [4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenoxy]-acetic acid isobutyl ester; [4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenoxy]-acetic acid benzyl ester;
- N-lsobutyl-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzamide;
- 5-(5-Diethylaminomethyl-thiophen-2-ylmethyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 4-(2-{[5-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophen-2-ylmethyl]-amino}-ethyl)-benzoic acid;
  - 3-Nitro-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid methyl ester;
  - 3-Nitro-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid ethyl ester:
  - 3-Nitro-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid isobutyl ester;
  - 5-(4-Ethoxy-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 1,1-Dioxo-5-(3-trifluoromethyl-benzyl)-1,2,5-thiadiazolidin-3-one;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-carboxymethyl-benzyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid phenethyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-phenylamino-ethyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-(3-methoxy-phenyl)-ethyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2,2-dimethyl-propyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-methoxycarbonyl-2-methyl-propyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2,2,4-trimethyl-pentyl ester:
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-dimethylamino-2,2-dimethyl-propyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid (3aR,4S,5R,6aS)-5-benzoyloxy-2-oxo-hexahydro-cyclopenta[b]furan-4-ylmethyl ester;
- 6-{[5-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophen-2-ylmethyl]-amino}-hexanoic acid;
- 5-{5-[(3-Methyl-butylamino)-methyl]-thiophen-2-ylmethyl}-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-methyl-4-nitro-benzyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-chloro-4-methyl-benzyl ester;
  - 5-[5-(Isobutylamino-methyl)-thiophen-2-ylmethyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 5-ethoxycarbonyl-pentyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-(3-chloro-phenyl)-ethyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-m-tolyl-ethyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-(3-trifluoromethyl-phenyl)-ethyl ester;
- (R)-3-Phenyl-2-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzylamino]-propionic acid ethyl ester;
  - 5-[4-(Benzylamino-methyl)-benzyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-methyl-benzyl ester;
- 4-Methyl-6-{[5-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophen-2-ylmethyl]-amino}-hexanoic acid;
- 4-[(1,1,4-trioxido-1,2,5-thiadiazolidin-2-yl)methyl]-benzoic acid [4-(methoxycarbonyl)-phenyl]methyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-cyclohexyl-2-methyl-propyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-phenoxy-propyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-trifluoromethyl-benzyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-trifluoromethyl-benzyl ester;
- 4-[(1,1,4-trioxido-1,2,5-thiadiazolidin-2-yl)methyl]-benzoic acid 2-(4-carboxyphenyl)ethyl ester;
  - 5-[5-(3-Methyl-butyryl)-thiophen-2-ylmethyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 3-[[[4-[(1,1,4-Trioxido-1,2,5-thiadiazolidin-2-yl)methyl]benzoyl]-oxy]methyl]benzoic acid;
  - 5-[4-(Isobutylamino-methyl)-benzyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 5-{4-[(2,2-Dimethyl-propylamino)-methyl]-benzyl}-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid naphthalen-1-ylmethyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-nitro-benzyl ester;
- (4-{2-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoylamino]-ethyl}-phenyl)-acetic acid:
  - 5-[5-(4-Methyl-pentanoyl)-thiophen-2-ylmethyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 5-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophene-2-carboxylic acid;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-nitro-benzyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-(carboxymethyl-amino)-2,2-dimethyl-propyl ester;

- 5-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyloxymethyl]-thiophene-2-carboxylic acid;
  - 5-[4-(4-Benzyl-piperazin-1-ylmethyl)-benzyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid biphenyl-4-ylmethyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-acetylamino-benzyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-benzyl-benzyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-methyl-benzyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-methyl-3-nitro-benzyl ester:
- Glycine, N-(aminosulfonyl)-N-[[4-[[(2-phenylethyl)thio]methyl]phenyl]methyl]-, methyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-carboxymethyl-benzyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-methyl-3-nitro-benzyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-fluoro-2-trifluoromethylbenzyl ester;
- 4-[5-(2,4-Dimethoxy-benzyl)-1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl]-benzoic acid 4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-benzyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-benzyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 5-methyl-2-nitro-benzyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid o-tolyl ester:
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-(carboxymethyl-methyl-amino)-2,2-dimethyl-propyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid phenyl ester
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 5-isobutylcarbamoyl-thiophen-2-ylmethyl ester;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid naphthalen-2-ylmethyl ester;
  - N,N-Diisobutyl-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzamide;
  - {4-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyl]-piperazin-1-yl}-acetic acid;
  - 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid naphthalen-2-yl ester;
- 5-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyloxymethyl]-thiophene-2-carboxylic acid isobutyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 5-carbamoyl-thiophen-2-ylmethyl ester;
  - 5-[4-(4-Benzyl-piperazine-1-carbonyl)-benzyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 5-(3-phenyl-propionyl)-thiophen-2-ylmethyl ester;
- 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 5-benzylcarbamoyl-thiophen-2-ylmethyl ester;
  - 1,1-Dioxo-5-phenyl-1,2,5-thiadiazolidin-3-one;
  - 5-(2,4-Diamino-phenyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;
  - 3-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-yl)-benzoic acid methyl ester:
  - 3-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-yl)-benzoic acid;
  - 5-(4-Aminomethyl-phenyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one:
  - [2-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-acetic acid methyl ester;
  - [2-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-acetic acid;
  - 5-(2,4-Dimethoxyphenyl)-1,1-dioxo-[1,2,5]thiadiazolidin-3-one potassium salt;
  - N-Benzyl-2-[3-methyl-4-(1,1,4-trioxo-[1,2,5]thiadiazolidin-2-yl)-phenoxy]-acetamide;
- 3-[3-Hydroxy-4-(1,1,4-trioxo-[1,2,5]thiadiazolidin-2-yl)-benzyl]-3,4-dihydro-1H-benzo[1,4]diazepine-2,5-dione;
  - 5-(4-lodo-phenyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one:
- (S)-2-Amino-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-propionic acid benzyl ester;
  - (S)-2-Amino-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-propionic acid;
- (S)-2-Acetylamino-N-{(S)-1-pentylcarbamoyl-2-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-ethyl}-3-phenyl-propionamide;
- (S)-2-Acetylamino-3-phenyl-N-{(S)-1-(4-phenyl-butylcarbamoyl)-2-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-ethyl}-propionamide;
- [4-(2-{(S)-2-((S)-2-Acetylamino-3-phenyl-propionylamino)-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-propionylamino}-ethyl)-phenyl]-acetic acid;
- 2-[4-(2-Benzoylamino-2-{1-carbamoyl-2-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-ethylcarbamoyl}-ethyl)-phenoxy]-malonic acid;
- (S)-2-(Biphenyl-4-sulfonylamino)-N-pentyl-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-propionamide;
- (S)-2-(Biphenyl-4-sulfonylamino)-N-(4-phenyl-butyl)-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-propionamide;
- (S)-2-Benzenesulfonylamino-N-pentyl-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-propionamide;
- (S)-2-Benzenesulfonylamino-N-(4-phenyl-butyl)-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-propionamide;
- (S)-2-Benzenesulfonylamino-N-(3,3-diphenyl-propyl)-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-propionamide;
  - (S)-2-Acetylamino-N-[(S)-2-[3-bromo-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-1-

(4-phenyl-butylcarbamoyl)-ethyl]-3-phenyl-propionamide;

- (S)-2-Benzenesulfonylamino-3-[3-bromo-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-N-(4-phenyl)-propionamide;
- (S)-2-((S)-2-Acetylamino-3-phenyl-propionylamino)-3-[3-bromo-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-N-pentyl-propionamide; and
- (S)-2-Acetylamino-N-{(S)-1-pentylcarbamoyl-2-[3-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-ethyl}-3-phenyl-propionamide; or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.
- Claim 17. (original) A method for the inhibition of PTP-1B activity in mammals which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of claim 1.
- Claim 18. (original) A method for the treatment of conditions associated with PTP-1B activity in mammals which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of claim 1.
- Claim 19. (original) The method according to claim 18, which method comprises administering said compound in combination with a therapeutically effective amount of insulin, insulin derivative or mimetic, insulin secretagogue, insulinotropic sulfonylurea receptor ligand, insulin sensitizer, alpha-glucosidase inhibitor, GLP-1, GLP-1 analog or mimetic, DPP-IV inhibitor, hypolipidemic agent, cholestyramine, fibrate, nicotinic acid, anti-hypertensive agent, anti-obesity agent, or aspirin.
- Claim 20. (original) A method for modulating glucose levels in mammals which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of claim 1.
- Claim 21. (original) A method for the treatment and/or prevention of diabetes in mammals which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of claim 1.
- Claim 22. (original) A method for the treatment and/or prevention of metabolic disorders mediated by insulin resistance in mammals which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of claim 1.
- Claim 23. (original) A method for the treatment and/or prevention of atherosclerosis in mammals which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of claim 1 in combination with a therapeutically effective amount of an HMG-CoA reductase inhibitor.

Claim 24. (original) A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 1 in combination with one or more pharmaceutically acceptable carriers.

Claim 25. (original) A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 1 in combination with a therapeutically effective amount of insulin, insulin derivative or mimetic, insulin secretagogue, insulinotropic sulfonylurea receptor ligand, insulin sensitizer, biguanide, alpha-glucosidase inhibitor, GLP-1, GLP-1 analog or mimetic, DPP-IV inhibitor, hypolipidemic agent, cholestyramine, fibrate, nicotinic acid, antihypertensive agent, anti-obesity agent, or aspirin.

Claim 26. (currently amended) A pharmaceutical composition according to claim 24 or 25 for the treatment of diabetes, atherosclerosis and metabolic disorders mediated by insulin resistance.

Claim 27. (new) A pharmaceutical composition according to claim 25 for the treatment of diabetes, atherosclerosis and metabolic disorders mediated by insulin resistance.